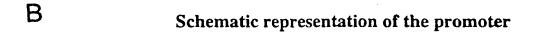


Α

## The HER-2/neu promoter



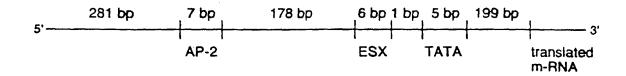
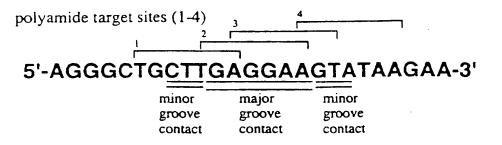


Fig. 1

PCT/US99/20489

## The ESX promoter site



B site 1 site 3 5'-T G C T T G A-3' 5'-A G G A A G T-3' 3'-T C C T 5'-A G G A A G T-3' 5'-T G C T T G A-3' site 2 site 4 5'-T G A G G A A-3' 5'-A G T A T A A-3' 3'-A C T C C 5'-T G A G G A A-3' 5'-A G T A T A A-3'

Fig. 2

WO 00/15773 PCT/US99/20489

a) CF3COOH. b) A, (iPr2)NEt, DMF. c) Boc-β-alanine, HBTU, (iPr2)NEt, DMF. d) N-α-Fmoc-N-γ-Boc-D-diamino butyric acid, HBTU, (iPr2)NEt, DMF. e) C, HBTU, (iPr2)NEt, DMF. f) B, HBTU, (iPr2)NEt, DMF. g) 20% piperidine, DMF. h) (N,N-dimethylamino)propylamine; prep. HPLC.

Fig. 3

Fig. 4

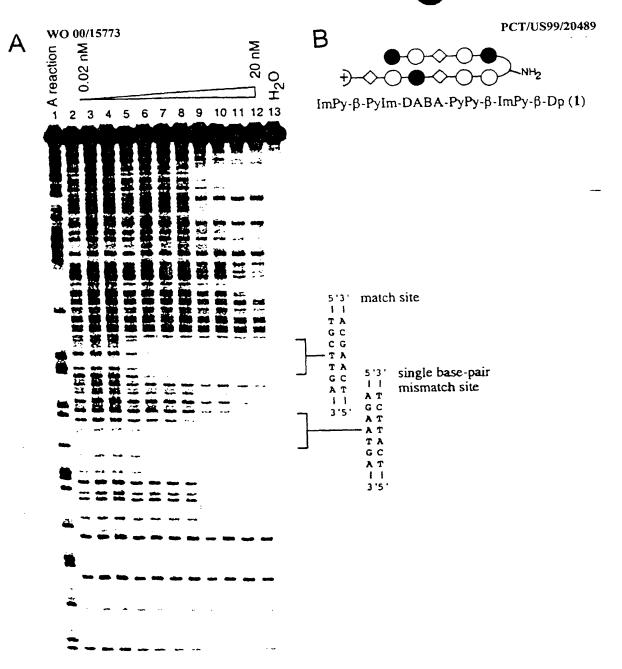


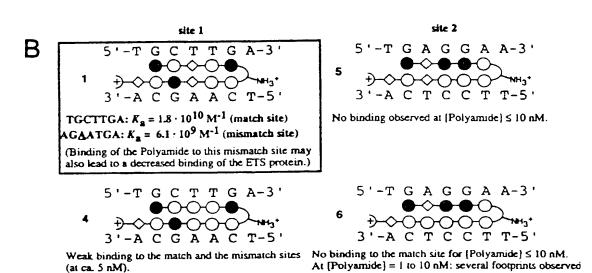
Fig. 5

PCT/US99/20489

A 3 4

1 2 3 4

5'-AGGGCTGCTTGAGGAAGTATAAGAATGAAGTTGT-3'



site 3

site 4

5'-A G G A A G T-3'

7

3'-T C C T T C A-5'

No binding to the match site for [Polyamide]  $\leq 10$  nM.

Single base-pair mismatch site (ATGAAGT):  $K_a = 1 \cdot 10^9 \text{ M}^{-1}$ 

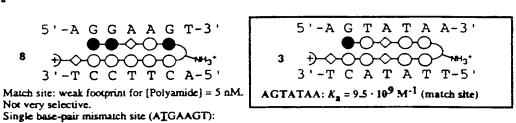


Fig. 6

 $K_0 = 1 \cdot 10^9 \,\mathrm{M}^{-1}$ 

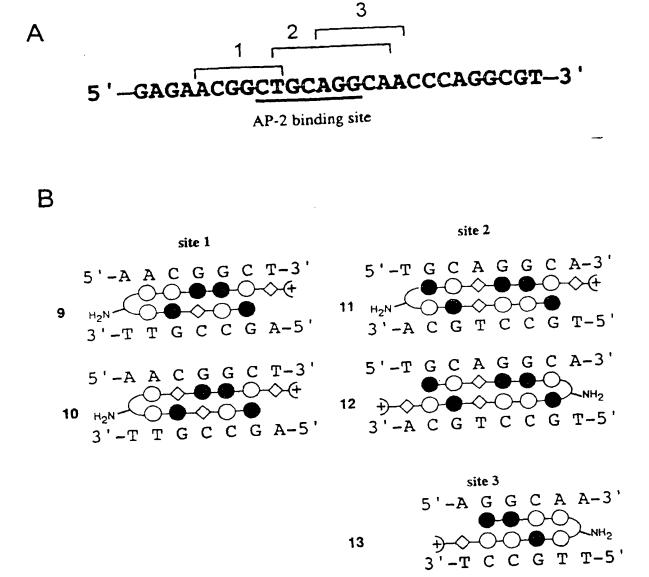


Fig. 7

Fig. 8

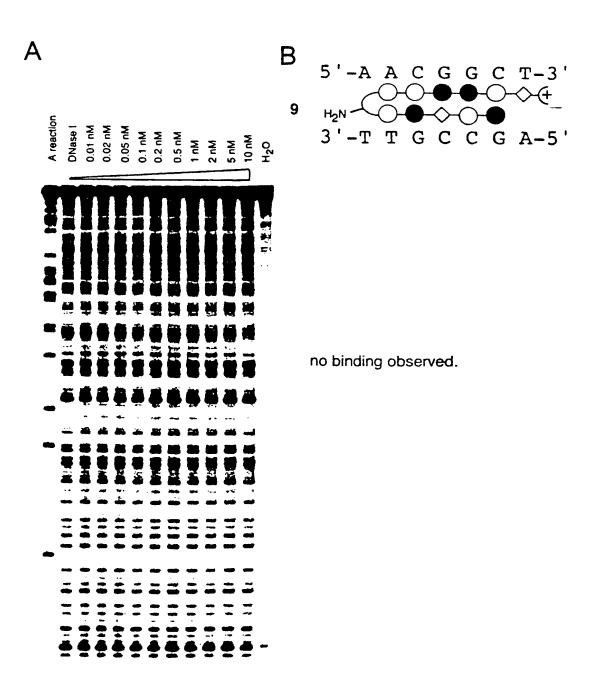


Fig. 9

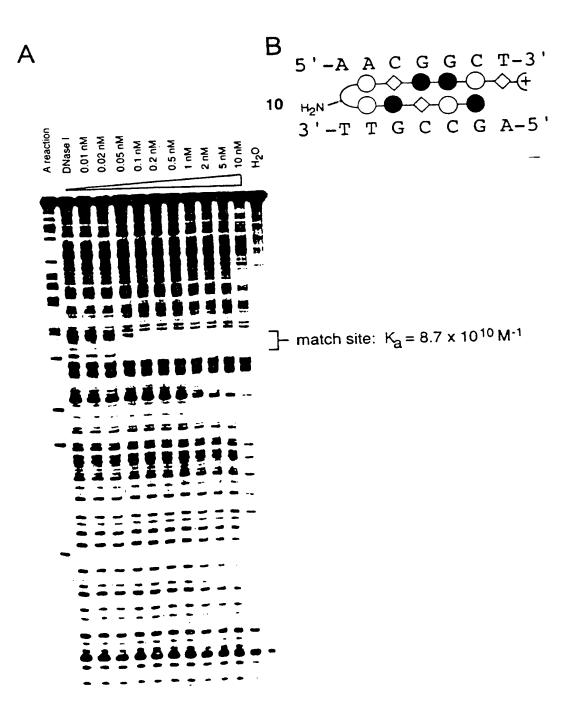


Fig. 10

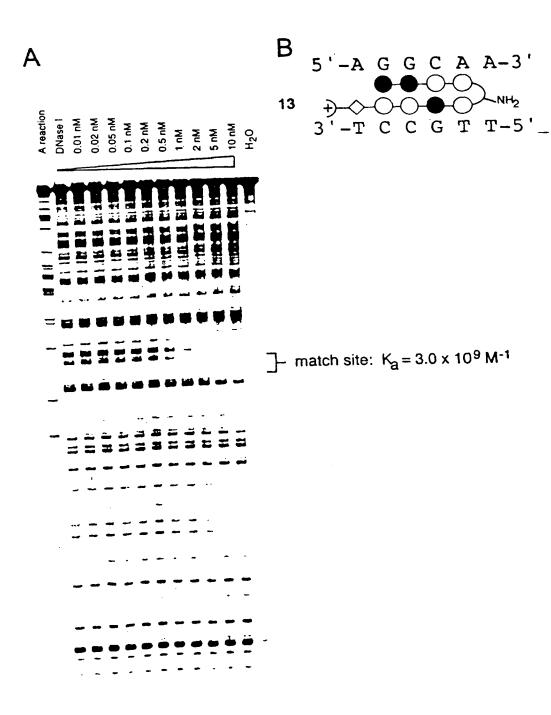
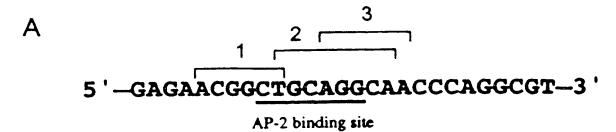


Fig. 11

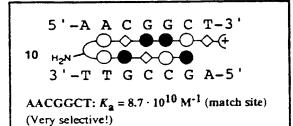
PCT/US99/20489



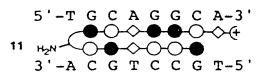
B

site 1

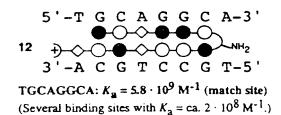
No binding observed at concentrations of 9 < 10 nM.



site 2



TGCAGGCA:  $K_a = 6.5 \cdot 10^9 \text{ M}^{-1}$  (match site) (Several binding sites with  $K_a = \text{ca. } 2 \cdot 10^8 \text{ M}^{-1}$ .)



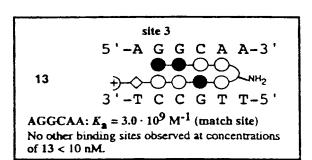


Fig. 12

PCT/US99/20489 WO 00/15773 9 Ω က 2 Dist/uM ESX  $\mathbf{\omega}$ S က  $\alpha$ PA-2E/nM ESX 4

Fig. 13

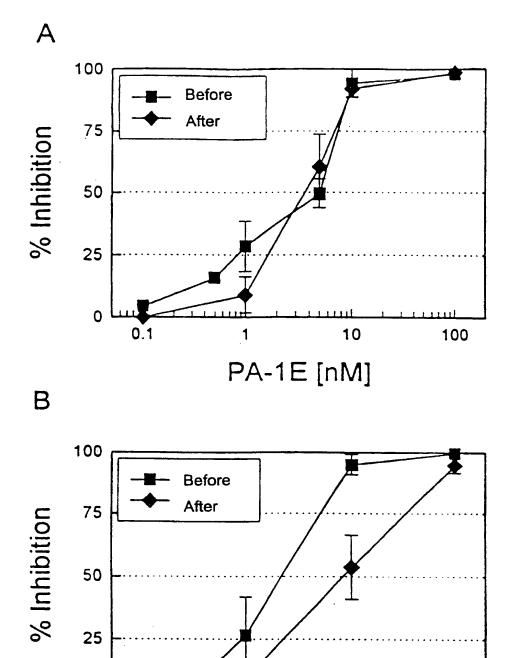


Fig. 14

PA-2E [nM]

10

100

0

0.1

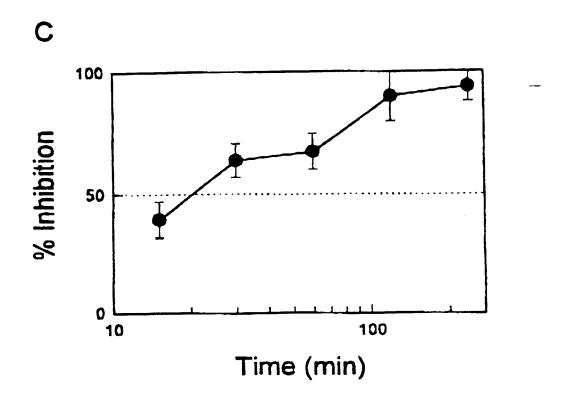
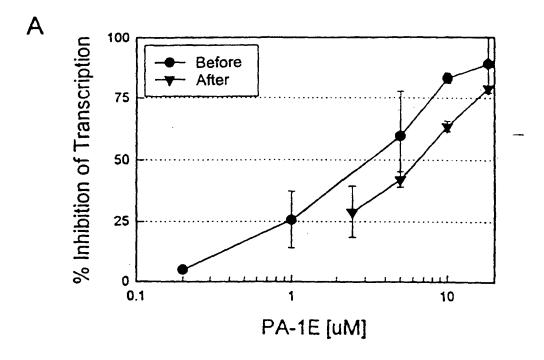
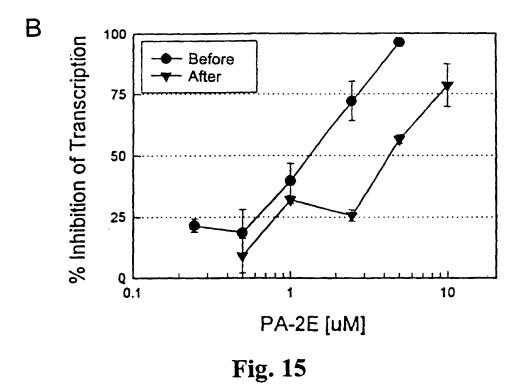


Fig. 14





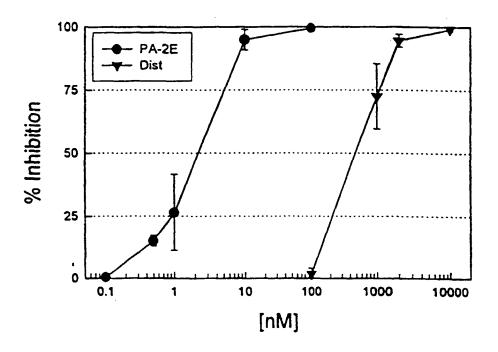


Fig. 16

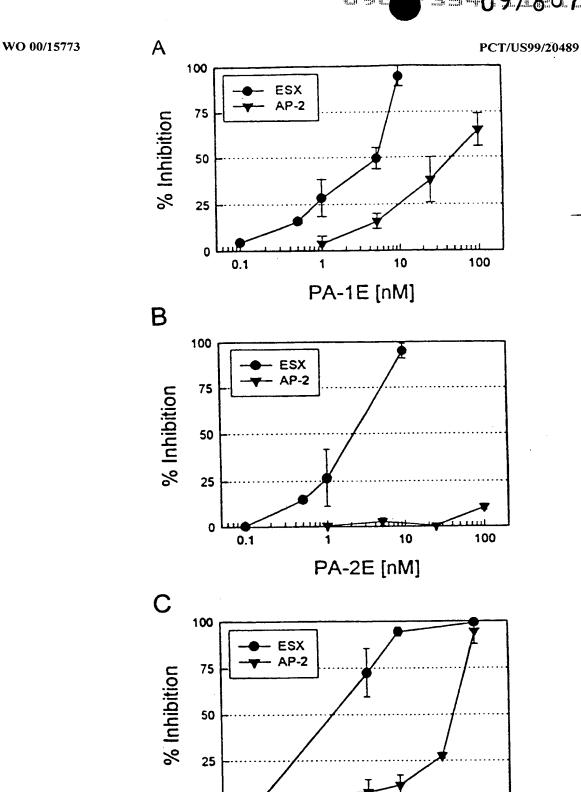


Fig. 17

Distamycin [uM]

10

0.1

0

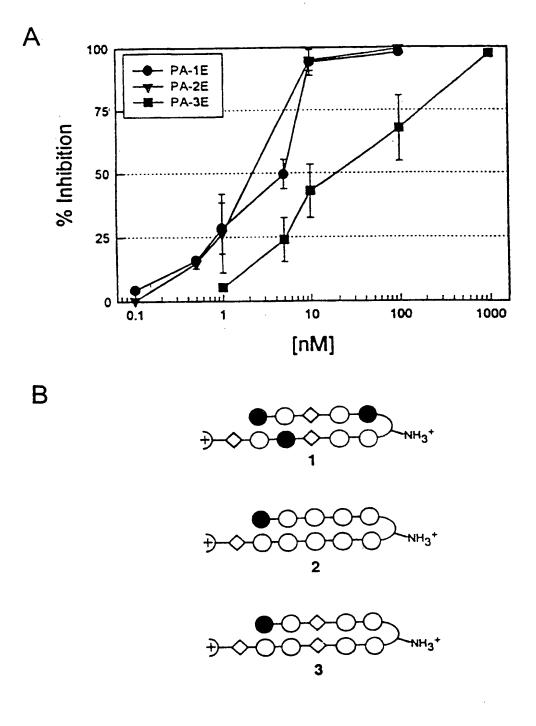


Fig. 18

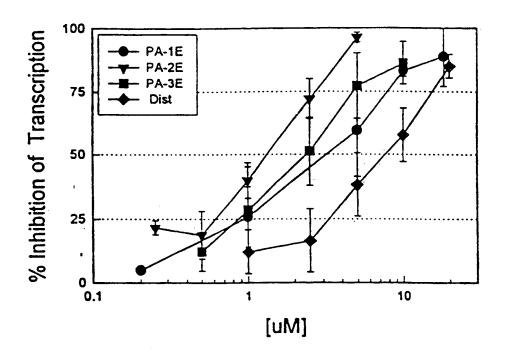


Fig. 19